n Publication number:

0 321 185 A3

12

EUROPEAN PATENT APPLICATION

(2) Application number: 88311785.5

2 Date of filing: 13.12.88

(a) Int. Cl.4: **C 07 H 17/08** A 61 K 31/70

30 Priority: 16.12.87 GB 8729369

Date of publication of application: 21.06.89 Bulletin 89/25

Designated Contracting States:
BE CH DE FR GB IT LI NL

BE CH DE FR GB IT LI NL

Bate of deferred publication of search report:
02.11.89 Bulletin 89/44

(7) Applicant: BEECHAM GROUP PLC Beecham House Great West Road Brentford Middlesex TW8 9BD (GB)

72 Inventor: Davies, John Sidney
Beecham Pharmaceuticals Brockham Park
Betchworth Surrey RH3 7AJ (GB)

Representative: Dayneswood, Trevor et al Beecham Pharmaceuticals Great Burgh Yew Tree Bottom Road Epsom Surrey KT18 5XQ (GB)

(54) Erythromycin derivatives.

 9-N,11-O-methylene derivatives of erythromycin-9-imine (wherein the methylene group is optionally substituted) of the general formula IA or IB:

or a pharmaceutically acceptable ester or acid addition salt thereof,

wherein

R¹ denotes hydrogen, an unsubstituted or substituted hydrocarbon group, a heterocyclyl group, or an acyl group;

R³ denotes hydrogen or hydroxy;

R⁶ denotes hydrogen or methyl;

one of R⁷ and R⁸ denotes hydrogen, hydroxy, alkoxy, alkanoyloxy, amino, substituted amino, or a group of the formula R⁹-SO₂-O-, and the other of R⁷ and R⁸ denotes hydrogen, or R⁷ and R⁸ together denote an oxo group, an oxime group, or a substituted oxime group; and

R9 denotes an organic group,

possess improved acid stability, compared with erythromycln A, whilst retaining good antibacterial activity.



EUROPEAN SEARCH REPORT

88 31 1785

Category	Citation of document with indica of relevant passage	tion, where appropriate,	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl.4)
Υ	EP-A-0 238 178 (ELI L * Pages 5-7 *	ILLY)	1 -	C 07 H 17/08 A 61 K 31/70
Y	DE-A-2 515 075 (K. TH * Pages 1-4 *	OMAE)	1,9	
Y	US-A-3 884 904 (P. JC * Columns 3-6 *	NES)	1,9	
X	JOURNAL OF THE AMERICA SOCIETY, vol. 103, no. 1981, pages 3215,3217, Chemical Society; R.B. "Asymmetric total synterythromycin. 3. Total erythromycin" * Page 3217, left-hand	11, 3rd June American WOODWARD et al.: thesis of synthesis of	7	·
Χ	EP-A-0 201 166 (BEECH * Page 32, lines 16-30		7	TECHNICAL FIELDS SEARCHED (Int. Cl.4)
				C 07 H 17/00 A 61 K 31/00
	The present search report has been	Date of completion of the se	1	Examiner
THE HAGUE 07-08-1989		VE	RHULST W.	

EPO FORM 1503

- X: particularly relevant if taken alone
 Y: particularly relevant if combined with another document of the same category
 A: technological background
 O: non-written disclosure
 P: intermediate document

- D: document cited in the application L: document cited for other reasons
- & : member of the same patent family, corresponding document